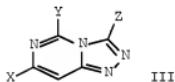
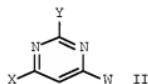
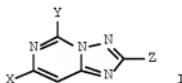


TITLE: Preparation of [1,2,4]triazolo[1,5-c]pyrimidines as adenosine A_{2A} receptor antagonists
 INVENTOR(S): Atsumi, Toshiyuki; Tsumiki, Hiroshi; Ikeda, Shunichi;
 Suzuki, Koji
 PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 21 pp.
 CODEN: JKXXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--|----------|-----------------|----------------|
| JP 2002037787 | A | 20020206 | JP 2001-144465 | 20010515 <-- |
| PRIORITY APPLN. INFO.: | | | JP 2000-142882 | A 20000516 <-- |
| OTHER SOURCE(S): | CASREACT 136:151173; MARPAT 136:151173 | | | |
| GI | | | | |



AB Title compds. I (X = halo, OQ, lower alkylthio, arylthio, etc.; Q = H, lower alkyl, aryl, aromatic heterocyclyl, etc.; Y = halo, OQ, lower alkylthio, arylthio, alkylsulfinyl, arylsulfinyl, etc.; Z = (un)substituted aryl, aromatic heterocyclyl), useful for treatment of Parkinson's disease, dementia, and depression, are prepared by reaction of pyrimidines II (X, Y = same as I; W = halo, OQ, lower alkylthio, arylthio, alkylsulfinyl, etc.; Q2 = lower alkyl, aryl, aromatic heterocyclyl, etc.) with H₂NNHCOZ (Z = same as I), cyclization, and rearrangement of III (X, Y, Z = same as above). 2-Amino-4,6-dichloropyrimidine was condensed with 2-furanylcarbonylhydrazide in the presence of KOBu-tert in DMSO at 30° for 2 h to give 97% 2-amino-6-chloro-4[2-(2-furylhydrazino)pyrimidine, which was cyclized in the presence of (F3CSO₂)O in F3CCO₂H under reflux for 8 h and treated with 1-methyl-2-pyrrolidone at 80° for 1 h to give 5-amino-7-chloro-2-(furan-2-yl)[1,2,4]triazolo[1,5-c]pyrimidine.

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)

(preparation of triazolopyrimidines as adenosine A2A receptor antagonists)

RN 394652-85-8 ZCPLUS

CN 2-Furancarboxylic acid, 2-(2-amino-6-chloro-4-pyrimidinyl)hydrazide (CA
INDEX NAME)

